### (19) World Intellectual Property Organization

International Bureau



### I INDIA DIKATU KASAKA DIKABAN BANKAN KATA DIKA 1911 KABAN BANKAN BANDA BANDA BANDA BANDA BANDA BANDA BANDA BAN

## (43) International Publication Date 10 June 2004 (10.06.2004)

#### **PCT**

# (10) International Publication Number WO 2004/048393 A2

(51) International Patent Classification<sup>7</sup>:

C07F 9/00

(21) International Application Number:

PCT/US2003/036929

(22) International Filing Date:

19 November 2003 (19.11.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

10/302,124

22 November 2002 (22.11.2002) US

10/411,484

8 April 2003 (08.04.2003) US

(63) Related by continuation (CON) or continuation-in-part (CIP) to earlier applications:

US

10/302,124 (CON)

10/411,484 (CON)

Filed on

22 November 2002 (22.11.2002)

US Filed on

8 April 2003 (08.04.2003)

(71) Applicant (for all designated States except US): METHYLGENE, INC. [CA/CA]; 7220 Frederick-Banting, St-Laurent, Quebec H4S 2A1 (CA).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BESTERMAN, Jeffrey, M. [US/CA]; 51 Gray Crescent, Bai D'Urfe, Quebec H9X 3V3 (CA). RAHIL, Jubrail [CA/CA]; 24 Rue Bellerose, Montreal, Quebec H9G 2A6 (CA). VAISBURG,

Arkadii [CA/CA]; 10 Riverwood Grove, Kirkland, Quebec H9J 2X2 (CA).

- (74) Agent: GREENFIELD, Michael, S.; McDonnell Boehnen Hulbert & Berghoff, 300 South Wacker Drive, Chicago, IL 60606 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

#### Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL INHIBITORS OF β-LACTAMASE

(57) Abstract: The invention relates to bacterial antibiotic resistance and, in particular, to compositions and methods for overcoming bacterial antibiotic resistance. The invention provides novel β-lactamase inhibitors, which are structurally unrelated to the natural product and semi-synthetic β-lactamase inhibitors presently available, and which do not require β-lactam pharmacophore. The invention also provides pharmaceutical compositions and methods for inhibiting bacterial growth.

